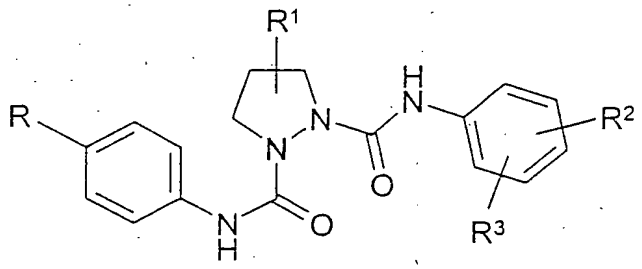
Patent Claims

1. Compounds of the formula I



I

in which

R	denotes H, A, A-CO-, Hal, -C≡C-H, -C≡C-A or -C≡C-C(=O)-A,
R^1	denotes H, =O, Hal, A, OH, OA, A-COO-, Ph-$(CH_2)_n$-COO-, cycloalkyl-$(CH_2)_n$-COO-, A-CONH-, A-CONA-, Ph-CONA-, N_3, NH_2, NO_2, CN, COOH, COOA, $CONH_2$, CONHA, $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA or $=CF_2$,
Ph	denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA or Hal,
R^2	denotes H, Hal or A,
R^3	denotes a monocyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, CN, $(CH_2)_nOH$, $(CH_2)_nHal$, NR^4R^5, =NH, =N-OH, =N-OA and/or carbonyl oxygen (=O), or $CONR^4R^5$,
R^4, R^5,	independently of one another, denote H or A,
R^4 and R^5	together also denote an alkylene chain having 3, 4 or 5 C atoms, which may also be substituted by A, Hal, OA and/or carbonyl oxygen (=CO),

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

2. Compounds according to Claim 1, in which

R denotes Hal or -C≡C-H,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1 or 2, in which

R^3 denotes a monocyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, =NH and/or carbonyl oxygen (=O), or $CONR^4R^5$

R^4, R^5, independently of one another, denote H or A,

R^4 and R^5 together also denote an alkylene chain having 3, 4 or 5 C atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

4. Compounds according to one or more of Claims 1-3, in which

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-

dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl,
optionally mono- or disubstituted by Hal and/or A,
or
$CONR^4R^5$,

R^4, R^5, independently of one another, denote H or A,

R^4 and R^5 together also denote an alkylene chain having 3, 4 or 5 C atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

5. Compounds according to one or more of Claims 1-4, in which

R^1 denotes H, =O, OH, OA, A-COO-, Ph-$(CH_2)_n$-COO-, cycloalkyl-$(CH_2)_n$-COO-,

Ph denotes unsubstituted phenyl,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

6. Compounds according to one or more of Claims 1-5, in which

R denotes Hal or -C≡C-H,

R^1 denotes H, =O, OH, OA, A-COO-, Ph-$(CH_2)_n$-COO-, cycloalkyl-$(CH_2)_n$-COO-,

Ph denotes unsubstituted phenyl,

R^2 denotes H, Hal or A,

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl,
optionally mono- or disubstituted by Hal and/or A,
or
$CONR^4R^5$,

R^4, R^5, independently of one another, denote H or A,

R^4 and R^5 together also denote an alkylene chain having 3, 4 or 5 C atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

7. Compounds according to one or more of Claims 1-6, in which

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-

1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

optionally mono- or disubstituted by Hal and/or A,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

8. Compounds according to one or more of Claims 1-7, in which

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

9. Compounds according to one or more of Claims 1-8, in which

R denotes Hal or -C≡C-H,

R^1 denotes H, =O, OH, OA, A-COO-, Ph-$(CH_2)_n$-COO-, cycloalkyl-$(CH_2)_n$-COO-,

Ph denotes unsubstituted phenyl,

R^2 denotes H, Hal or A,

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxo-

azepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

10. Compounds according to Claim 1 selected from the group

1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(3-oxomorpholin-4-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(2-oxopiperidin-1-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-methyl-4-(2-oxopyrrolidinyl)-phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-fluoro-4-(2-oxopyrrolidinyl)-phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-trifluoromethyl-4-(2-azabicyclo-[2.2.2]-octan-3-on-2-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-methyl-4-(2-oxopyrrolidinyl)-phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(3-oxomorpholin-4-yl)phenyl]}-4-oxopyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(2-oxopiperidinyl)phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(3-oxomorpholin-4-yl)phenyl]}-pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[3-trifluoromethyl-4-(2-azabicyclo-[2.2.2]-octan-3-on-2-yl)phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-{[4-(2-oxo-1,3-oxazinan-3-yl)phenyl]}-pyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-pyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}pyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]}-(R)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(R)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(R)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]}-(S)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(S)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(S)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]}-4-acetoxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-4-benzylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-4-benzoyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]}-4-*tert*-butylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-4-isobutylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-4-cyclohexylmethylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]}-4-cyclopentylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-4-cyclopropylmethylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-4-cyclobutylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-bromophenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-pyrazolidine-1,2-dicarboxamide,

1-N-[(4-bromophenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

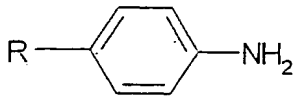
1-N-[(4-bromophenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(S)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-bromophenyl)]-2-N-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(R)-4-hydroxypyrazolidine-1,2-dicarboxamide,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

11. Process for the preparation of compounds of the formula I according to Claims 1-10 and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, characterised in that

a) a compound of the formula II

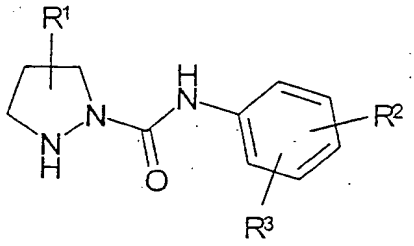


II

in which R has the meaning indicated in Claim 1,

is reacted with a chloroformate derivative to give an intermediate carbamate derivative,

which is subsequently reacted with a compound of the formula III-



III-1

in which

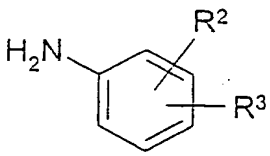
R^1, R^2 and R^3 have the meaning indicated in Claim 1,

and, if R^1 denotes OH, the OH group is optionally in protected form

and subsequently, if desired, the OH-protecting group is removed,

or

b) a compound of the formula IV

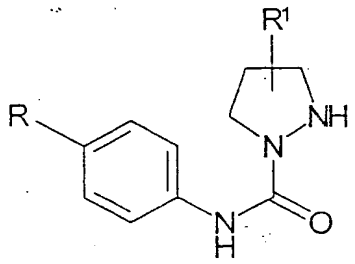


IV,

in which R^2 and R^3 have the meaning indicated in Claim 1,

is reacted with a chloroformate derivative to give an intermediate carbamate derivative,

which is subsequently reacted with a compound of the formula III-2



III-2

in which R and R^1 have the meaning indicated in Claim 1,
and, if R^1 denotes OH, the OH group is optionally in protected form,

and subsequently, if desired, the OH-protecting group is removed,

and/or
a base or acid of the formula I is converted into one of its salts.

12. Compounds of the formula I according to one or more of Claims 1 to 10 as inhibitors of coagulation factor Xa.

13. Compounds of the formula I according to one or more of Claims 1 to 10 as inhibitors of coagulation factor VIIa.

14. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.

15. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof,

including mixtures thereof in all ratios, and at least one further medicament active ingredient.

16. Use of compounds according to one or more of Claims 1 to 10 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.

17 Set (kit) consisting of separate packs of

(a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios,

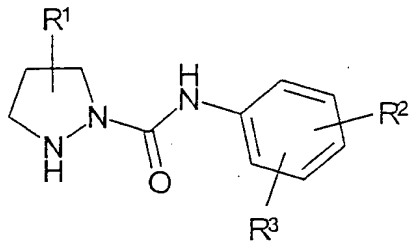
and

(b) an effective amount of a further medicament active ingredient.

18. Use of compounds of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios,

for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases, in combination with at least one further medicament active ingredient.

19. Intermediate compounds of the formula III-1



III-1

in which

R^1	denotes H, =O, Hal, A, OR^6, OA, A-COO-, Ph-$(CH_2)_n$-COO-, cycloalkyl-$(CH_2)_n$-COO-, A-CONH-, A-CONA-, Ph-CONA-, N_3, NH_2, NO_2, CN, COOH, COOA, $CONH_2$, CONHA, $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA, or $=CF_2$,
Ph	denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA or Hal,
R^2	denotes H, Hal or A,
R^3	denotes a monocyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, CN, $(CH_2)_nOH$, $(CH_2)_nHal$, NR^4R^5, =NH, =N-OH, =N-OA and/or carbonyl oxygen (=O), $CONR^4R^5$,
R^4, R^5,	independently of one another, denote H or A,
R^4 and R^5	together also denote an alkylene chain having 3, 4 or 5 C atoms, which may also be substituted by A, Hal, OA and/or carbonyl oxygen (=CO),
R^6	denotes an OH-protecting group,
A	denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,
Hal	denotes F, Cl, Br or I,
n	denotes 0, 1, 2, 3 or 4,

and isomers and salts thereof.

20. Intermediate compounds according to Claim 19, in which

R^1 denotes H, =O, OR^6, OA, A-COO-, Ph-$(CH_2)_n$-COO- or cycloalkyl-$(CH_2)_n$-COO-,

Ph denotes unsubstituted phenyl,

R^2 denotes H, Hal or A,

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxo-azepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

R^6 denotes an OH-protecting group,

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

and isomers and salts thereof.

21. Intermediate compounds according to Claim 20, in which

R^1 denotes H, =O or OR^6,

R^2 denotes H, Hal or A,

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-

yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxo-azepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl,

R^6 denotes an alkylsilyl protecting group,

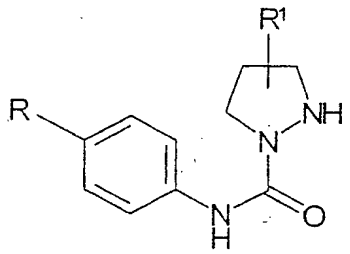
A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

and isomers and salts thereof.

22. Intermediate compounds of the formula III-2



III-2

in which

R denotes H, A, A-CO-, Hal, -C≡C-H, -C≡C-A or -C≡C-C(=O)-A,

R^1 denotes H, =O, Hal, A, OR^6, OA, A-COO-, Ph-$(CH_2)_n$-COO-, cycloalkyl-$(CH_2)_n$-COO-, A-CONH-, A-CONA-, Ph-CONA-, N_3, NH_2, NO_2, CN, COOH, COOA, $CONH_2$, CONHA, $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA or $=CF_2$,

Ph denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA or Hal,

R^6 denotes an OH-protecting group,

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

where, if R^1 denotes H, R does not denote Cl,

and isomers and salts thereof.

23. Intermediate compounds according to Claim 22, in which

R denotes Hal or -C≡C-H,

R^1 denotes H, =O, OR^6, OA, A-COO-, Ph-$(CH_2)_n$-COO- or cycloalkyl-$(CH_2)_n$-COO-,

Ph denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA or Hal,

R^6 denotes an OH-protecting group,

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

where, if R^1 denotes H, R does not denote Cl,

and isomers and salts thereof.

23. Intermediate compounds according to Claim 22, in which

R denotes Hal or -C≡C-H,

R^1 denotes H, =O or OR^6,

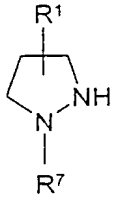
R^6 denotes an alkylsilyl protecting group,

Hal denotes F, Cl, Br or I,

where, if R^1 denotes H, R does not denote Cl,

and isomers and salts thereof.

24. Intermediate compounds of the formula VI



VI

in which

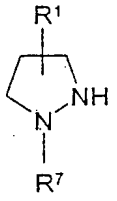
R^1 denotes OH or OR^6,

R^6 denotes a silyl protecting group,

R^7 denotes *tert*-butyloxycarbonyl (BOC) or benzyloxycarbonyl (Z),

and isomers thereof.

25. Process for the preparation of compounds of the formula VI



VI

in which

R^1 denotes OH or OR^6,

R^6 denotes a silyl protecting group,

R^7 denotes *tert*-butyloxycarbonyl (BOC) or benzyloxycarbonyl (Z),

and isomers thereof,

obtainable by reaction of a compound of the formula VII

$$R^7\text{-}NHNH_2 \qquad VII,$$

in which R^7 denotes BOC or Z,

with silyl-protected 1,3-dibromopropan-2-ol,

and optionally subsequent removal of the protecting group.